CLAIMS

What is claimed is:

1. A compound having the formula

$$R_{2} = \begin{bmatrix} Z & O^{m} & O^{m}$$

5 wherein

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- R₁ is substituted or unsubstituted aryl, alkyl, cycloalkyl or alkylaryl;
- R₂ and R₃ independently are hydrogen, lower alkyl; cycloalkyl; trihalomethyl; halo; -NR₄R₅, where R₄ and R₅ are independently H, O, R₆, or COR₆, where R₆ may be lower alkyl; sulfonamidoalkyl; hydroxyl; cyano; or a conjugated five- or six-membered cyclic or heterocyclic ring, provided that R₂ and R₃ are not both hydrogen;
- the atoms denoted by the dotted line bond may, taken together, form a four, five, six or seven membered cyclic or heterocyclic ring;
- Z is N or C;
- m is 0, 1, 2, 3, 4, 5, or 6;
- n is 1, 2, 3, 4, 5, or 6;
- p is 0, 1, 2, 3, or 4; and pharmaceutically acceptable salts and/or esters thereof; and provided that when p=0, R₁ is other than substituted or unsubstituted aryl, and R₂ and R₃ independently other than phenyl or alkoxyphenyl.
- 20 2. The compound of claim 1, wherein said resulting aryl, pyridinyl, pyrimidinyl or pyrazinyl group is substituted with a substituent selected from the group consisting of lower alkyl; cycloalkyl; trihalomethyl; halo; a conjugated five- or six-membered cyclic or heterocyclic ring; nitro; NHCO-alkyl; NCO-dialkyl; sulfonamidoalkyl; hydroxyl; and cyano.
- The compound of claim 2, wherein both Z atoms are C; R₂ is nitro, NHCO-alkyl, NCO-dialkyl, or aminoalkyl; and R₃ is H.
 - 4. The compound of claim 3, wherein said NHCO-alkyl is NHCO-lower alkyl.

- 5. The compound of claim 4, wherein said NHCO-lower alkyl is NHCO-(CH₃), NHCO-(CH₂CH₃), NHCO-(CH₂CH₂-CH₃), or NHCO-(CH(CH₂)₂.
- 6. The compound of claim 3, wherein R_2 is in the meta position.
- 7. The compound of claim 1, wherein said lower alkyl or alkoxy is lower (C_1-C_4) .
- 5 8. The compound of claim 1, wherein said cycloalkyl is (C_1-C_6) .
 - 9. The compound of claim 1, wherein R₁ is *n*-butyl, *s*-butyl, *i*-butyl, *p*-toluene, *p*-halophenyl, or cycloalkyl.
 - 10. The compound of claim 9, wherein said cycloalkyl is cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclohexylmethyl, 2-cyclohexylmethyl, or cyclohexylphenyl.
- 10 11. The compound of claim 1, wherein m is 0.
 - 12. The compound of claim 1, wherein n is 3 or 4.
 - 13. The compound of claim 1, wherein said compound is a 5-HT receptor antagonist.
 - 14. The compound of claim 13, wherein said compound is a 5-HT₁ receptor antagonist.
- 15. The compound of claim 14, wherein said compound is a 5-HT_{1A, B, C, D, E or F} receptor antagonist.
 - 16. The compound of claim 14, wherein said compound is a 5-HT_{1A} receptor antagonist.
 - 17. The compound of claim 16, wherein the half-life of said compound *in vivo* is HLM T^{1/2} >20-90min., up to 100% of the compound remaining unchanged.
- 18. A pharmaceutical composition comprising the compound of claim 1 in an amount effective to treat generalized anxiety disorder, and a pharmaceutically-acceptable carrier.
 - 19. A pharmaceutical composition comprising the compound of claim 1 in an amount effective to treat sexual dysfunction, and a pharmaceutically-acceptable carrier.
- A pharmaceutical composition comprising the compound of claim 1 in an amount
 effective to treat attention deficit disorder, with or without hyperactivity, and a pharmaceutically-acceptable carrier.

- 21. A method of treating a subject afflicted with a condition requiring treatment, comprising administering an effective amount of a compound of claim 1 to treat said condition.
- 22. A method of treating a 5-HT-related condition, comprising administering an effective amount of a compound of claim 1.
- 5 23. A method of modulating a 5-HT receptor, comprising contacting said receptor with a compound of claim 1.
 - 24. A method of treating generalized anxiety disorder, comprising administering to a patient in need thereof a pharmaceutical composition comprising the compound of claim 1 in an amount effective to treat said generalized anxiety disorder.
- A method of treating generalized anxiety disorder, comprising diagnosing a patient in need of treatment and administering to a patient in need thereof a therapy including a pharmaceutical composition comprising the compound of claim 1 in an amount effective to treat generalized anxiety disorder.
- 26. A method of treating sexual dysfunction, comprising administering to a patient in need thereof a pharmaceutical composition comprising the compound of claim 1 in an amount effective to treat said sexual dysfunction.
 - 27. A method of treating sexual dysfunction, comprising diagnosing a patient in need of treatment and administering to a patient in need thereof a therapy including a pharmaceutical composition comprising the compound of claim 1 in an amount effective to treat said sexual dysfunction.
 - 28. A method of treating attention deficit disorder, with or without hyperactivity, comprising administering to a patient in need thereof a pharmaceutical composition comprising the compound of claim 1 in an amount effective to treat said attention deficit disorder.
- 29. A method of treating attention deficit disorder, with or without hyperactivity, comprising diagnosing a patient in need of treatment and administering to a patient in need thereof a therapy including a pharmaceutical composition comprising the compound of claim 1 in an amount effective to treat attention deficit disorder.

- 30. A composition comprising 4-Methyl-N-{4-[4-(3-nitro-phenyl)-piperazin-1-yl]-butyl}-benzenesulfonamide; and pharmaceutically acceptable salts and/or esters thereof.
- 31. A composition comprising 4-Methyl-N-{4-[4-(3-nitro-phenyl)-piperazin-1-yl]-butyl}-benzenesulfonamide HCl.
- 5 32. A composition comprising N-(3-{4-[4-(Toluene-4-sulfonylamino)-butyl]-piperazin-1-yl}-phenyl)-butyramide; and pharmaceutically acceptable salts and/or esters thereof.
 - 33. A composition comprising 2,2-Dimethyl-N-(3-{4-[4-(toluene-4-sulfonylamino)-butyl]-piperazin-1-yl}-phenyl)-propionamide; and pharmaceutically acceptable salts and/or esters thereof.
- 10 34. A composition comprising N-(3-{4-[4-(Toluene-4-sulfonylamino)-butyl]-piperazin-1-yl}-phenyl)-isobutyramide; and pharmaceutically acceptable salts and/or esters thereof.
 - 35. A composition comprising N-{4-[4-(3-Ethanesulfonylamino-phenyl)-piperazin-1-yl]-butyl}-4-methyl-benzenesulfonamide; and pharmaceutically acceptable salts and/or esters thereof.
- 36. A composition comprising 4-Methyl-N-(4-{4-[3-(propane-2-sulfonylamino)-phenyl]-piperazin-1-yl}-butyl)-benzenesulfonamide; and pharmaceutically acceptable salts and/or esters thereof.
 - 37. A composition comprising 4-Methyl-N-{4-[4-(3-nitro-phenyl)-piperazin-1-yl]-butyl}-benzenesulfonamide; and pharmaceutically acceptable salts and/or esters thereof.
- 20 38. A composition comprising 4-Methyl-N-[4-(4-pyridin-2-yl-piperazin-1-yl)-butyl]-benzenesulfonamide; and pharmaceutically acceptable salts and/or esters thereof.
 - 39. A composition comprising N-{4-[4-(2-Methoxy-5-nitro-phenyl)-piperazin-1-yl]-butyl}4-methyl-benzenesulfonamide; and pharmaceutically acceptable salts and/or esters
 thereof.
- 40. A composition comprising 4-Methyl-N-[4-(4-pyrimidin-2-yl-piperazin-1-yl)-butyl]-benzenesulfonamide; and pharmaceutically acceptable salts and/or esters thereof.

- 41. A composition comprising N-{4-[4-(3-Methoxy-phenyl)-piperazin-1-yl]-butyl}-4-methyl-benzenesulfonamide; and pharmaceutically acceptable salts and/or esters thereof.
- 42. A composition comprising N-{4-[4-(3-Ethanesulfonylamino-phenyl)-piperazin-1-yl]-butyl}-4-methyl-benzenesulfonamide; and pharmaceutically acceptable salts and/or esters thereof.
 - 43. A composition comprising N-{4-[4-(3-Methanesulfonylamino-phenyl)-piperazin-1-yl]-butyl}-4-methyl-benzenesulfonamide; and pharmaceutically acceptable salts and/or esters thereof.
- 44. A composition comprising 4-Methyl-N-{4-[4-(3-pyrazin-2-yl-phenyl)-piperazin-1-yl]-butyl}-benzenesulfonamide; and pharmaceutically acceptable salts and/or esters thereof.
 - 45. A composition comprising N-[4-(4-Biphenyl-3-yl-piperazin-1-yl)-butyl]-4-methyl-benzenesulfonamide; and pharmaceutically acceptable salts and/or esters thereof.
- 46. A composition comprising 4-Methyl-N-[4-(4-phenyl-piperazin-1-yl)-butyl]benzenesulfonamide; and pharmaceutically acceptable salts and/or esters thereof.
 - 47. A composition comprising C-Cyclohexyl-N-{4-[4-(2-methoxy-phenyl)-piperazin-1-yl]-butyl}-methanesulfonamide; and pharmaceutically acceptable salts and/or esters thereof.
 - 48. A composition comprising N-(3-{4-[4-(Toluene-4-sulfonylamino)-butyl]-piperazin-1-yl}-phenyl)-propionamide; and pharmaceutically acceptable salts and/or esters thereof.
- 49. A composition comprising (3-{4-[1-(4-Fluoro-benzenesulfonyl)-piperidin-4-ylmethyl]-piperazin-1-yl}-phenyl)-dimethyl-amine; and pharmaceutically acceptable salts and/or esters thereof.
 - 50. A composition comprising 1-[1-(4-Fluoro-benzenesulfonyl)-piperidin-4-ylmethyl]-4-pyridin-2-yl-piperazine; and pharmaceutically acceptable salts and/or esters thereof.
- 25 51. A composition comprising C-Cyclohexyl-N-{4-[4-(3-dimethylamino-phenyl)-piperazin-1-yl]-butyl}-methanesulfonamide; and pharmaceutically acceptable salts and/or esters thereof.

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- 52. A composition comprising C-Cyclohexyl-N-[4-(4-pyridin-2-yl-piperazin-1-yl)-butyl]-methanesulfonamide; and pharmaceutically acceptable salts and/or esters thereof.
- 53. A composition comprising N-(3-{4-[1-(4-Fluoro-benzenesulfonyl)-piperidin-4-ylmethyl]-piperazin-1-yl}-phenyl)-acetamide; and pharmaceutically acceptable salts and/or esters thereof.
- 54. A composition comprising N-(3-{4-[4-(4-Fluoro-benzenesulfonylamino)-butyl]-piperazin-1-yl}-phenyl)-acetamide; and pharmaceutically acceptable salts and/or esters thereof.
- 55. A composition comprising 1-(2-Methoxy-phenyl)-4-[1-(toluene-4-sulfonyl)-piperidin-3-ylmethyl]-piperazine; and pharmaceutically acceptable salts and/or esters thereof.
 - 56. A compound having the formula

$$R_{2} \xrightarrow{Z} X N N \xrightarrow{H} X O R_{1}$$

$$(II)$$

wherein

- R₁ is substituted or unsubstituted aryl; alkyl; or cycloalkyl;
- R₂ is lower alkyl; cycloalkyl; or -NR₄R₅, where R₄ and R₅ are independently H,
 O, R₆, or COR₆, where R₆ may be lower alkyl; sulfonamidoalkyl; hydroxyl; or;
- the atoms denoted by the dotted line bond may, taken together, form a four, five, six or seven membered cyclic or heterocyclic ring;
- Z is N or C;
- m is 0, 1, or 2;
- n is 1, 2, 3, or 4; and
- p is 0 or 1; and pharmaceutically acceptable salts and/or esters thereof.
- 57. The compound of claim 56, wherein both Z atoms are C; R₂ is nitro, NHCO-alkyl, NCO-dialkyl, or aminoalkyl; and R₃ is H.
- 25 58. The compound of claim 57, wherein said NHCO-alkyl is NHCO-lower alkyl.

- 59. The compound of claim 58, wherein said NHCO-lower alkyl is NHCO-(CH₃), NHCO-(CH₂CH₃), NHCO-(CH₂CH₂-CH₃), or NHCO-(CH(CH₂)₂.
- 60. The compound of claim 57, wherein R_2 is in the meta position.
- 61. The compound of claim 56, wherein said lower alkyl or alkoxy is lower (C_1-C_4) .
- 5 62. The compound of claim 56, wherein said cycloalkyl is (C_1-C_6) .
 - 63. The compound of claim 56, wherein R_1 is *n*-butyl, *s*-butyl, *i*-butyl, *p*-toluene, *p*-halophenyl, or cycloalkyl.
 - 64. The compound of claim 63, wherein said cycloalkyl is cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclohexylmethyl, or cyclohexylphenyl.
- 10 65. The compound of claim 56, wherein m is 0.
 - 66. The compound of claim 56, wherein n is 3 or 4.
 - 67. The compound of claim 56, wherein p is 1.
 - 68. The compound of claim 56, wherein said compound is a 5-HT receptor agonist.
 - 69. The compound of claim 56, wherein said compound is a 5-HT₁ receptor agonist.
- 15 70. The compound of claim 56, wherein said compound is a 5-HT_{1A, B, C, D, E or F} receptor agonist.
 - 71. The compound of claim 56, wherein said compound is a 5-HT_{1A} receptor agonist.
 - 72. The compound of claim 56, wherein the half-life of said compound *in vivo* is HLM T^{1/2} >20-90min., up to 100% of the compound remaining unchanged.
- 20 73. A composition comprising Cyclopropanecarboxylic acid (3-{4-[4-(toluene-4-sulfonylamino)-butyl]-piperazin-1-yl}-phenyl)-amide; and pharmaceutically acceptable salts and/or esters thereof.
 - 74. A composition comprising N-(3-{4-[4-(Toluene-4-sulfonylamino)-butyl]-piperazin-1-yl}-phenyl)-acetamide; and pharmaceutically acceptable salts and/or esters thereof.
- 75. A composition comprising N-{3-[4-(4-Cyclohexylmethanesulfonylamino-butyl)-piperazin-1-yl]-phenyl}-acetamide; and pharmaceutically acceptable salts and/or esters thereof.

- 76. A composition comprising N-{3-[4-(1-Cyclohexylmethanesulfonyl-piperidin-4-ylmethyl)-piperazin-1-yl]-phenyl}-acetamide; and pharmaceutically acceptable salts and/or esters thereof.
- 77. A composition comprising Cyclopropanecarboxylic acid {3-[4-(4-cyclohexylmethanesulfonylamino-butyl)-piperazin-1-yl]-phenyl}-amide; and pharmaceutically acceptable salts and/or esters thereof.
 - 78. A composition comprising N-(3-{4-[1-(Propane-2-sulfonyl)-piperidin-4-ylmethyl]-piperazin-1-yl}-phenyl)-acetamide; and pharmaceutically acceptable salts and/or esters thereof.
- 79. A composition comprising N-(3-{4-[4-(Propane-2-sulfonylamino)-butyl]-piperazin-1-yl}-phenyl)-acetamide; and pharmaceutically acceptable salts and/or esters thereof.
 - 80. A composition comprising N-{3-[4-(4-Cyclohexanesulfonylamino-butyl)-piperazin-1-yl]-phenyl}-acetamide; and pharmaceutically acceptable salts and/or esters thereof.
- 81. A composition comprising N-(3-{4-[4-(Cyclohexylmethanesulfonyl-methyl-amino)-butyl]-piperazin-1-yl}-phenyl)-acetamide; and pharmaceutically acceptable salts and/or esters thereof.
 - 82. A composition comprising N-(3-{4-[4-(2-Methyl-propane-1-sulfonylamino)-butyl]-piperazin-1-yl}-phenyl)-acetamide; and pharmaceutically acceptable salts and/or esters thereof.
- 20 83. A composition comprising N-[3-(4-{4-[Methyl-(2-methyl-propane-1-sulfonyl)-amino]-butyl}-piperazin-1-yl)-phenyl]-acetamide; and pharmaceutically acceptable salts and/or esters thereof.
 - 84. A composition comprising N-(3-Piperazin-1-yl-phenyl)-acetamide; and pharmaceutically acceptable salts and/or esters thereof.
- 25 85. A composition comprising Cyclopropanecarboxylic acid (3-piperazin-1-yl-phenyl)-amide; and pharmaceutically acceptable salts and/or esters thereof.

- 86. A pharmaceutical composition comprising the compound of claim 56 in an amount effective to treat generalized anxiety disorder, and a pharmaceutically-acceptable carrier.
- 87. A pharmaceutical composition comprising the compound of claim 56 in an amount effective to treat sexual dysfunction, and a pharmaceutically-acceptable carrier.
 - 88. A pharmaceutical composition comprising the compound of claim 56 in an amount effective to treat attention deficit disorder, with or without hyperactivity, and a pharmaceutically-acceptable carrier.
- 89. A method of treating a subject afflicted with a condition requiring treatment, comprising administering an effective amount of a compound of claim 56 to treat said condition.
 - 90. A method of treating a 5-HT-related condition, comprising administering an effective amount of a compound of claim 56.
 - 91. A method of modulating a 5-HT receptor, comprising contacting said receptor with a compound of claim 56.
- 15 92. A method of treating generalized anxiety disorder, comprising administering to a patient in need thereof a pharmaceutical composition comprising the compound of claim 56 in an amount effective to treat said generalized anxiety disorder.
- A method of treating generalized anxiety disorder, comprising diagnosing a patient in need of treatment and administering to a patient in need thereof a therapy including a
 pharmaceutical composition comprising the compound of claim 56 in an amount effective to treat generalized anxiety disorder.
 - 94. A method of treating sexual dysfunction, comprising administering to a patient in need thereof a pharmaceutical composition comprising the compound of claim 56 in an amount effective to treat said sexual dysfunction.
- 25 95. A method of treating sexual dysfunction, comprising diagnosing a patient in need of treatment and administering to a patient in need thereof a therapy including a pharmaceutical composition comprising the compound of claim 56 in an amount effective to treat sexual dysfunction.

- 96. A method of treating attention deficit disorder, with or without hyperactivity, comprising administering to a patient in need thereof a pharmaceutical composition comprising the compound of claim 56 in an amount effective to treat said attention deficit disorder.
- 5 97. A method of treating attention deficit disorder, with or without hyperactivity, comprising diagnosing a patient in need of treatment and administering to a patient in need thereof a therapy including a pharmaceutical composition comprising the compound of claim 56 in an amount effective to treat attention deficit disorder.